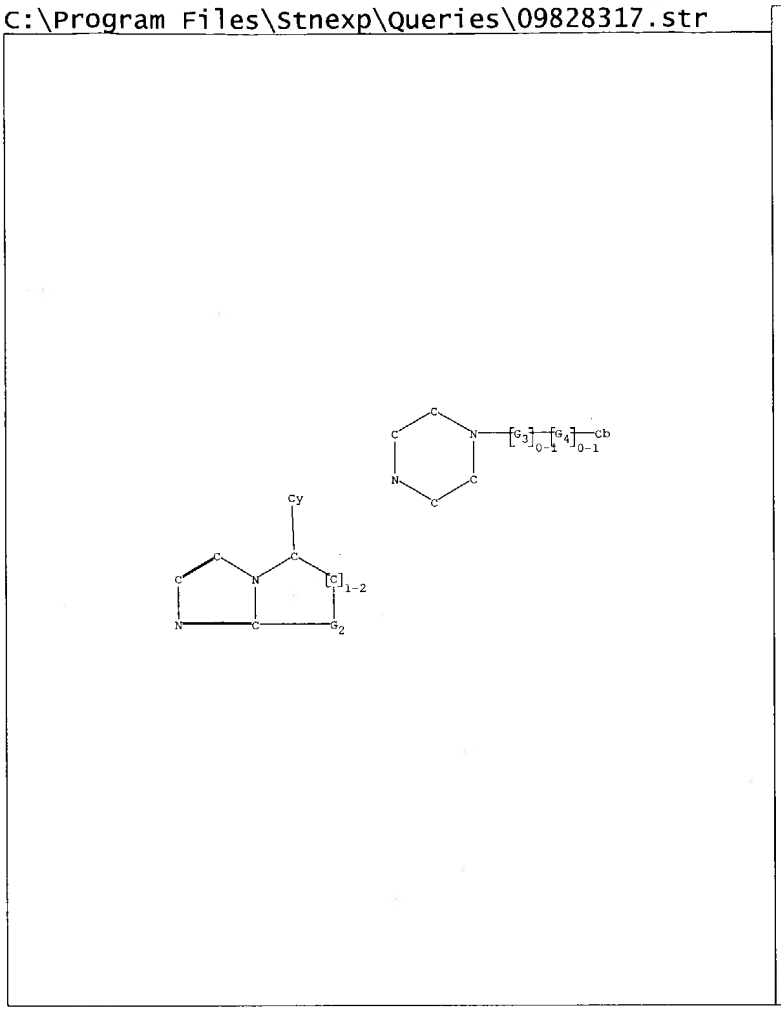
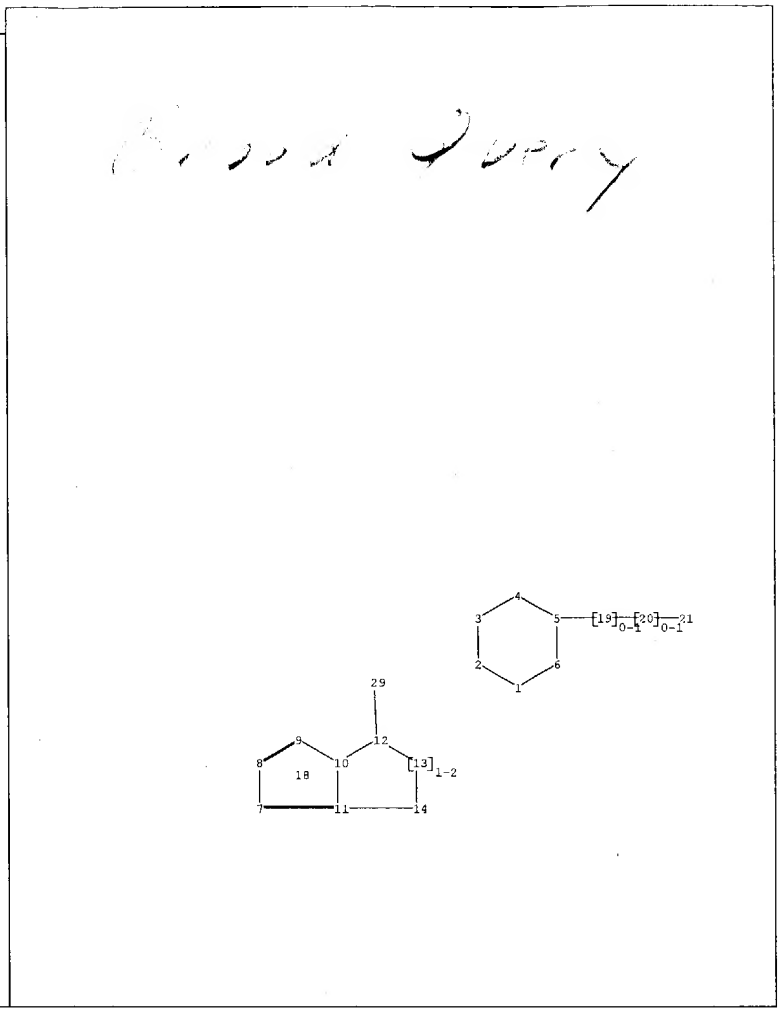
C:\Program Files\Stnexp\Queries\09828317.str





chain nodes :
19 20 21 29
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
chain bonds :
5-19 12-29 19-20 20-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 10-12 11-14 12-13 13-14
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-19 7-8 7-11 8-9 9-10 10-11 10-12 11-14 12-13
12-29 13-14 19-20 20-21
isolated ring systems :
containing 1 : 7 :

G2:C,O,S

G3:C,S

G4:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 18:CLASS 19:CLASS 20:CLASS 21:Atom 29:Atom
Generic attributes :
21:
Saturation : Unsaturated

09828317

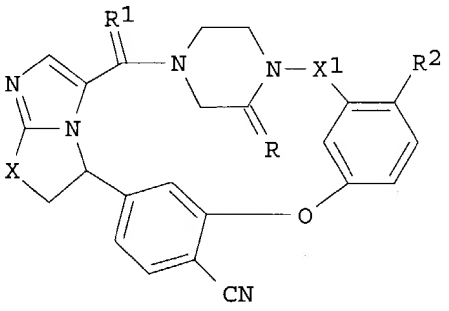
=> s l5
L6 7 L5

=> d l6 1-7 bib abs hitstr

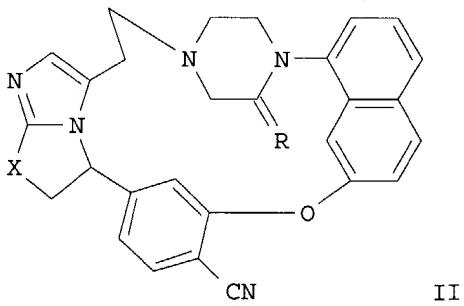
L6 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:763004 CAPLUS
DN 135:303919
TI Preparation of polyazamacrocyclic compounds as inhibitors of prenyl-protein transferase
IN Stump, Craig A.; Williams, Theresa M.; Nguyen, Diem N.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001077116	A1	20011018	WO 2001-US11397	20010406
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002123497	A1	20020905	US 2001-828259	20010406
US 6534506	B2	20030318		
PRAI US 2000-195951P	P	20000410		

OS MARPAT 135:303919
GI



I



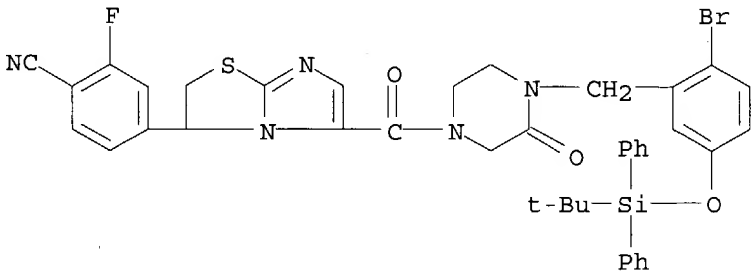
II

AB Title compds., prenyl-protein transferase inhibitors, [I, II; X = S, CH2; X1 = CH2, SO2; R = O, H2; R1 = O, H2; R2 = Br, H, Cl], pharmaceutically acceptable salts, and stereoisomers are prepared Title compds. I and II inhibit the prenylation of the oncogene protein Ras. Title invention is further directed to chemotherapeutic compns. containing the compds. of this invention and methods for inhibiting prenyl-protein transferase and the prenylation of the oncogene protein Ras. Thus, the title compound I (X1 = S; X2 = NH; X3 = CH2; R = O; R1 = O; R2 = Br) was prepared and in vitro farnesyl-protein transferase inhibitory activity and antitumor activity tested.

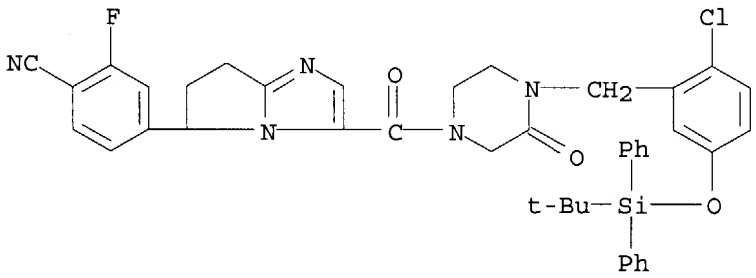
IT **367268-82-4P 367268-86-8P 367268-89-1P**
367268-95-9P 367269-02-1P 367269-03-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(Preparation of polyazamacrocyclic compds. as inhibitors of prenyl-protein transferase)

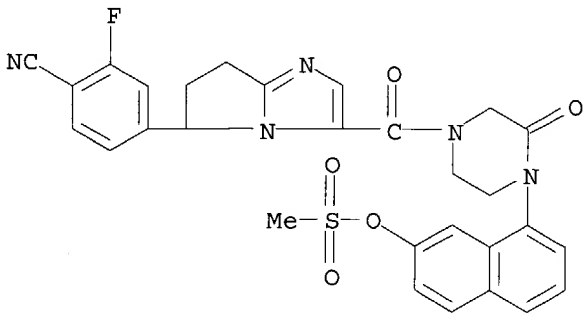
RN 367268-82-4 CAPLUS
CN Piperazinone, 1-[[2-bromo-5-[[(1,1-dimethylethyl)diphenylsilyl]oxy]phenyl]methyl]-4-[[3-(4-cyano-3-fluorophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 367268-86-8 CAPLUS
CN Piperazinone, 1-[[2-chloro-5-[[(1,1-dimethylethyl)diphenylsilyl]oxy]phenyl]methyl]-4-[[5-(4-cyano-3-fluorophenyl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

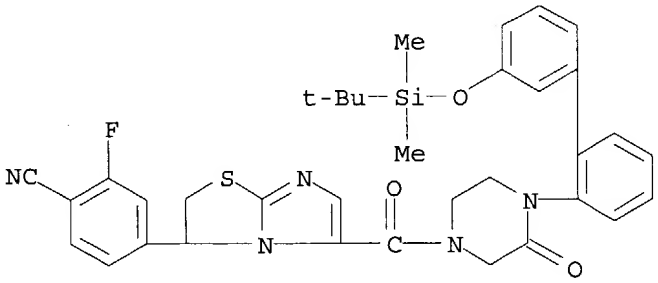


RN 367268-89-1 CAPLUS
CN Piperazinone, 4-[[5-(4-cyano-3-fluorophenyl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazol-3-yl]carbonyl]-1-[7-[(methylsulfonyl)oxy]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

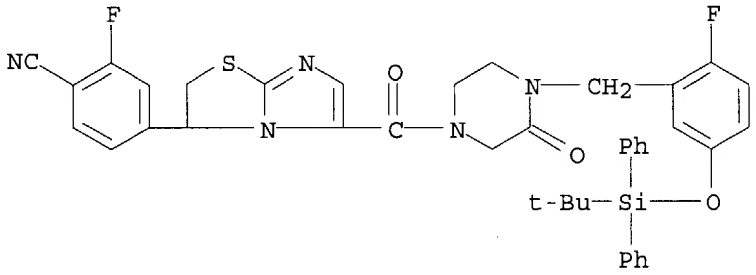


RN 367268-95-9 CAPLUS
CN Piperazinone, 4-[[3-(4-cyano-3-fluorophenyl)-2,3-dihydroimidazo[2,1-

b]thiazol-5-yl]carbonyl]-1-[3'-[[(1,1-dimethylethyl)dimethylsilyl]oxy][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



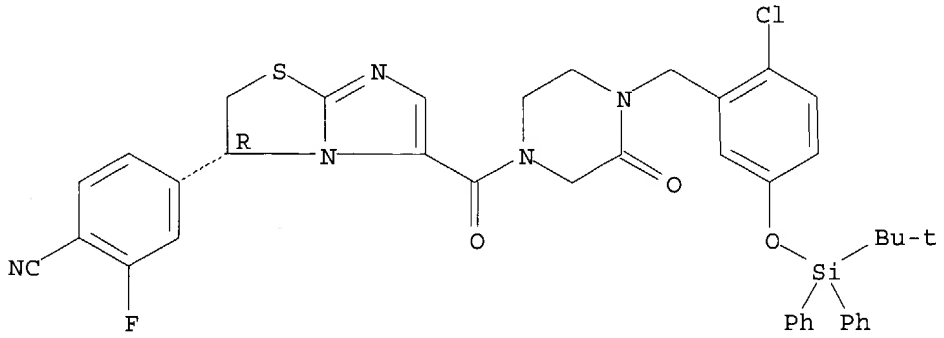
RN 367269-02-1 CAPLUS
CN Piperazinone, 4-[[3-(4-cyano-3-fluorophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-1-[[5-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-2-fluorophenyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 367269-03-2 CAPLUS
CN Piperazinone, 1-[[2-chloro-5-[[(1,1-dimethylethyl)diphenylsilyl]oxy]phenyl]methyl]-4-[[(3R)-3-(4-cyano-3-fluorophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

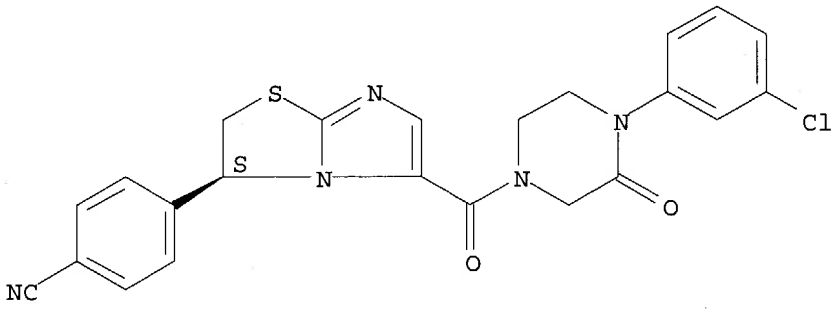
L6 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:762874 CAPLUS
DN 135:335140
TI Inhibitors of prenyl-protein transferase
IN Stump, Craig A.; Williams, Theresa M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001076693	A1	20011018	WO 2001-US11390	20010406

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-195802P P 20000410
OS MARPAT 135:335140
AB The present invention is directed to peptidomimetic compds. that inhibit prenyl-protein transferase and the prenylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compns. containing the compds. of this invention and methods for inhibiting prenyl-protein transferase and the prenylation of the oncogene protein Ras.
IT **367910-69-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(inhibitors of prenyl-protein transferase and prenylation of Ras oncogene protein)
RN 367910-69-8 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(3S)-3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

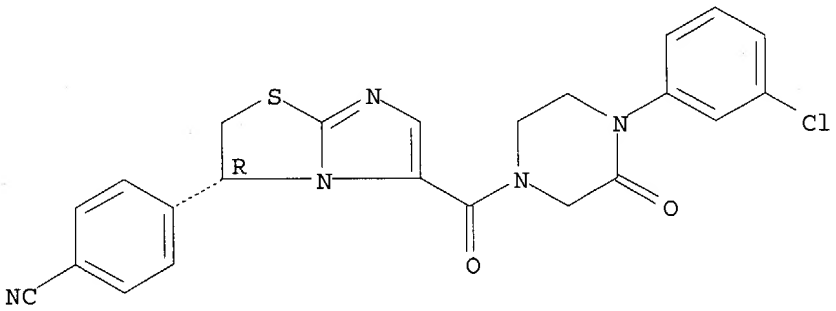


● HCl

IT **367910-46-1P 367910-47-2P 367910-48-3P**
367910-49-4P 367910-50-7P 367910-51-8P
367910-52-9P 367910-53-0P 367910-54-1P
367910-55-2P 367910-56-3P 367910-57-4P
367910-58-5P 367910-59-6P 367910-60-9P
367910-61-0P 367910-62-1P 367910-63-2P
367910-64-3P 367910-70-1P 367910-72-3P
367910-73-4P 367910-74-5P 367910-76-7P
367910-77-8P 367910-79-0P 367910-80-3P
367910-81-4P 367910-89-2P 367910-90-5P
367911-07-7P 367911-16-8P 367911-23-7P
367911-24-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors of prenyl-protein transferase and prenylation of Ras oncogene protein)

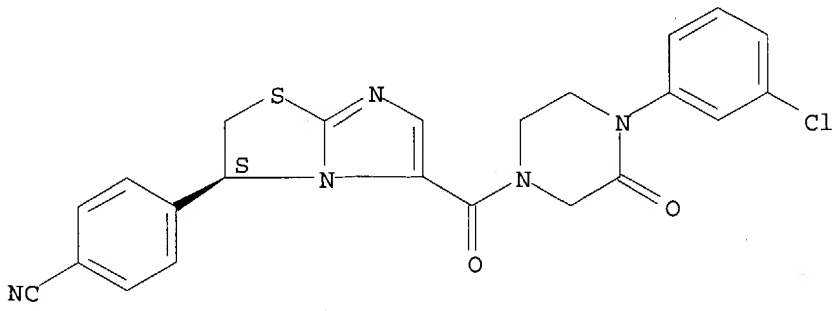
RN 367910-46-1 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(3R)-3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

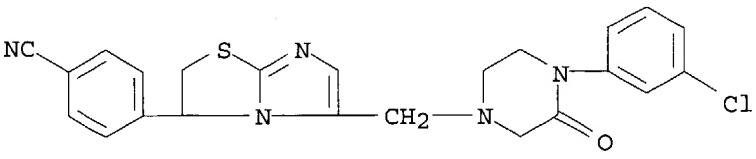


RN 367910-47-2 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(3S)-3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

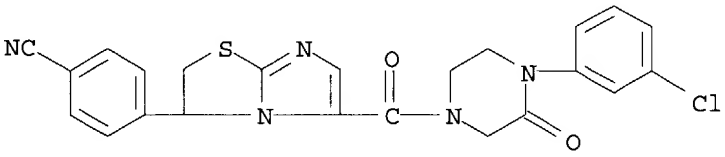
Absolute stereochemistry.



RN 367910-48-3 CAPLUS
CN Benzonitrile, 4-[5-[[4-(3-chlorophenyl)-3-oxo-1-piperazinyl]methyl]-2,3-dihydroimidazo[2,1-b]thiazol-3-yl]- (9CI) (CA INDEX NAME)

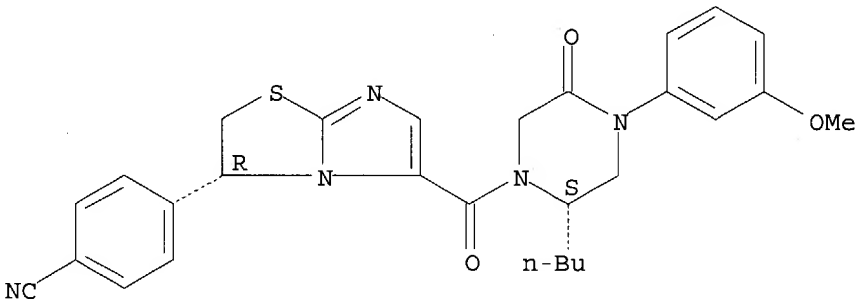


RN 367910-49-4 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



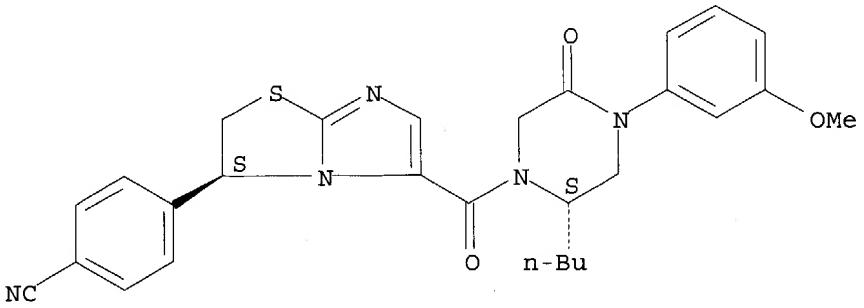
RN 367910-50-7 CAPLUS
CN Piperazinone, 5-butyl-4-[[(3R)-3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-1-(3-methoxyphenyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



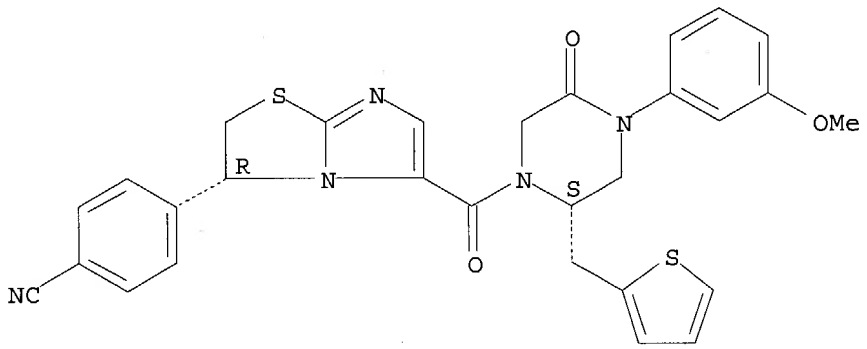
RN 367910-51-8 CAPLUS
CN Piperazinone, 5-butyl-4-[[(3S)-3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-1-(3-methoxyphenyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



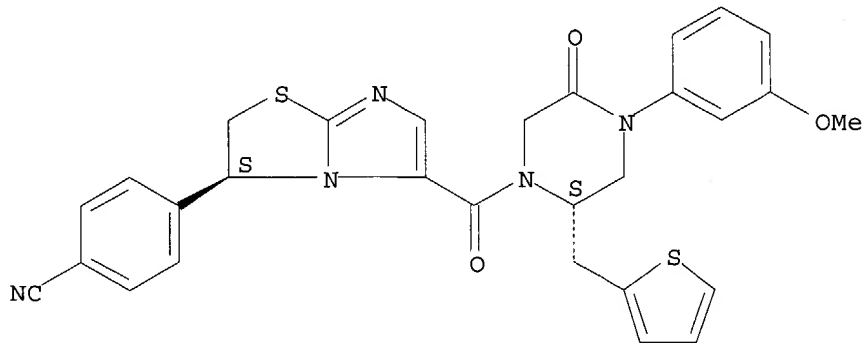
RN 367910-52-9 CAPLUS
CN Piperazinone, 4-[[(3R)-3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-1-(3-methoxyphenyl)-5-(2-thienylmethyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



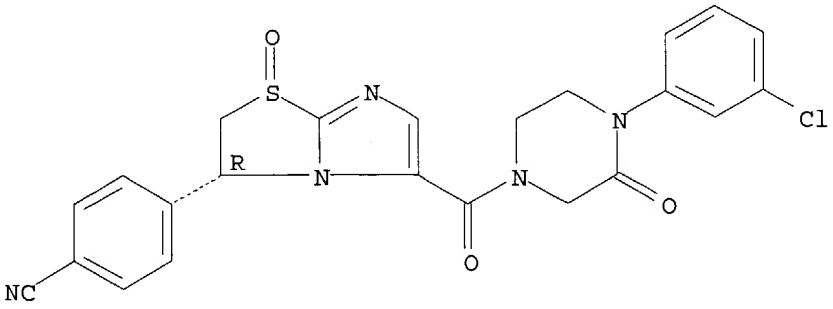
RN 367910-53-0 CAPLUS
CN Piperazinone, 4-[[(3S)-3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-1-(3-methoxyphenyl)-5-(2-thienylmethyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



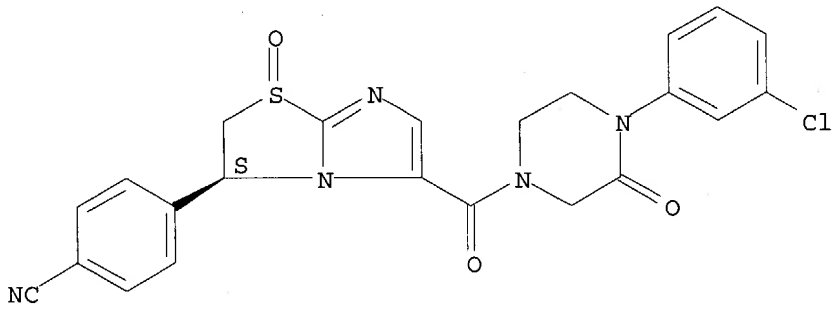
RN 367910-54-1 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(3R)-3-(4-cyanophenyl)-2,3-dihydro-1-oxidoimidazo[2,1-b]thiazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



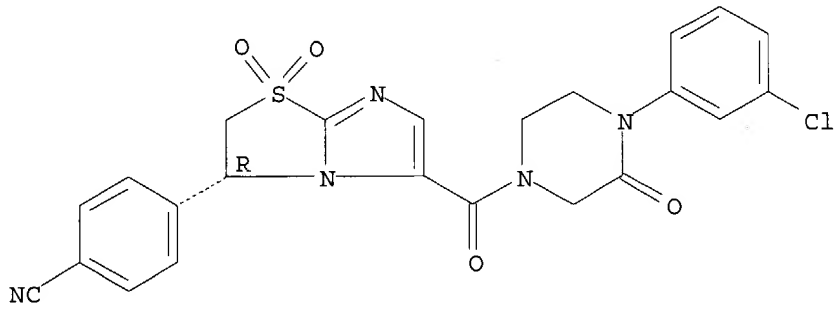
RN 367910-55-2 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(3S)-3-(4-cyanophenyl)-2,3-dihydro-1-oxidoimidazo[2,1-b]thiazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



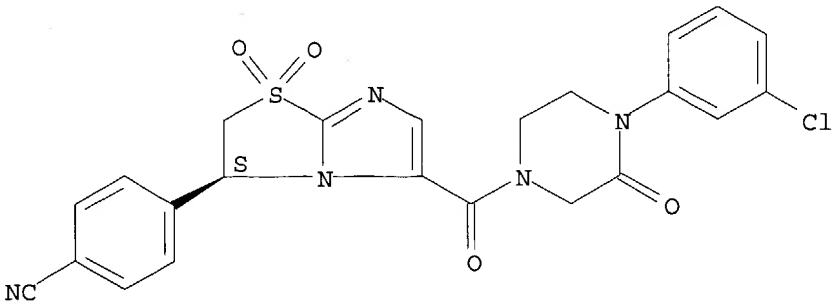
RN 367910-56-3 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(3R)-3-(4-cyanophenyl)-2,3-dihydro-1,1-dioxidoimidazo[2,1-b]thiazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

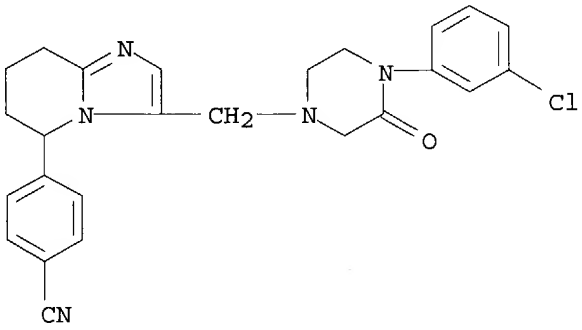


RN 367910-57-4 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(3S)-3-(4-cyanophenyl)-2,3-dihydro-1,1-dioxidoimidazo[2,1-b]thiazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

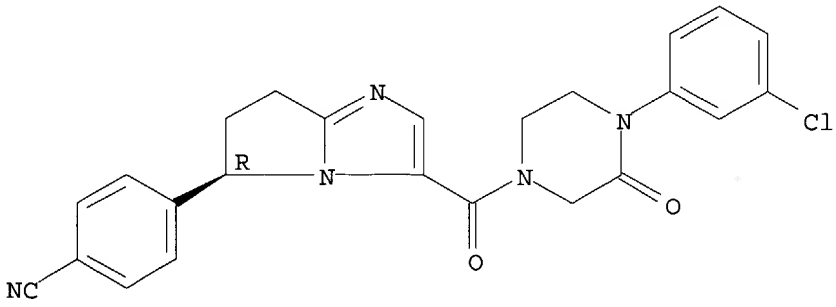


RN 367910-58-5 CAPLUS
CN Benzonitrile, 4-[3-[[4-(3-chlorophenyl)-3-oxo-1-piperazinyl]methyl]-5,6,7,8-tetrahydroimidazo[1,2-a]pyridin-5-yl]- (9CI) (CA INDEX NAME)



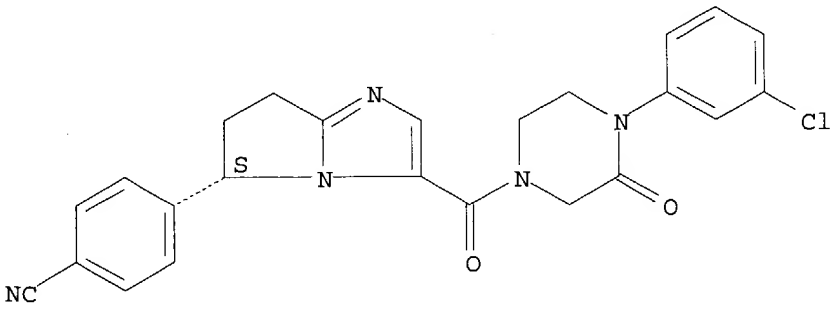
RN 367910-59-6 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(5R)-5-(4-cyanophenyl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

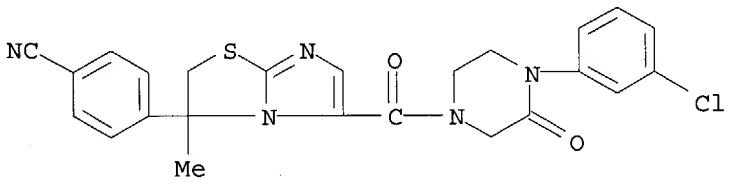


RN 367910-60-9 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(5S)-5-(4-cyanophenyl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

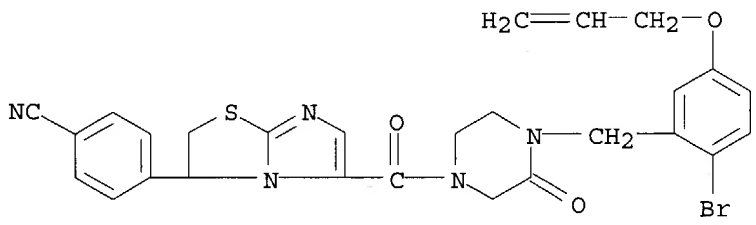
Absolute stereochemistry.



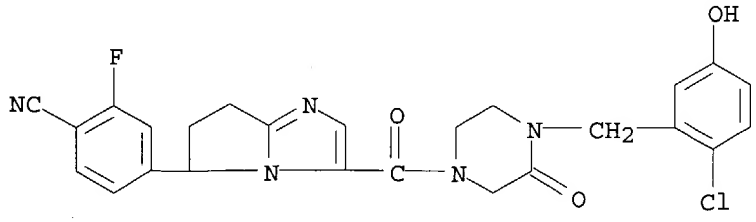
RN 367910-61-0 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[3-(4-cyanophenyl)-2,3-dihydro-3-methylimidazo[2,1-b]thiazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 367910-62-1 CAPLUS
CN Piperazinone, 1-[[2-bromo-5-(2-propenyloxy)phenyl]methyl]-4-[[3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



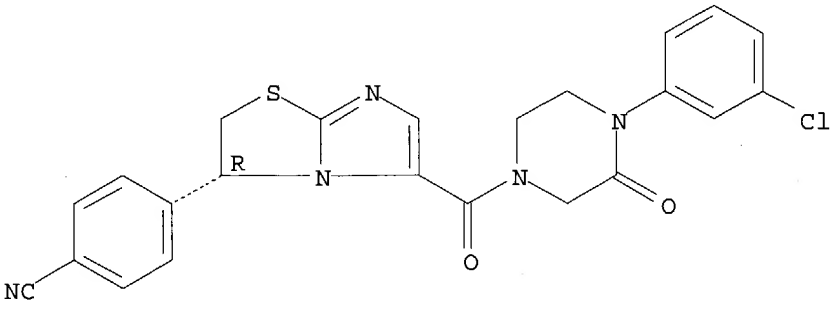
RN 367910-63-2 CAPLUS
CN Piperazinone, 1-[(2-chloro-5-hydroxyphenyl)methyl]-4-[[5-(4-cyano-3-fluorophenyl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 367910-64-3 CAPLUS

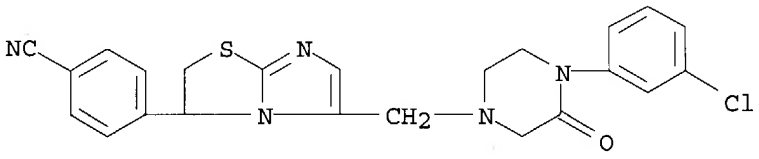
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(3R)-3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-, hydrochloride (5:8) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



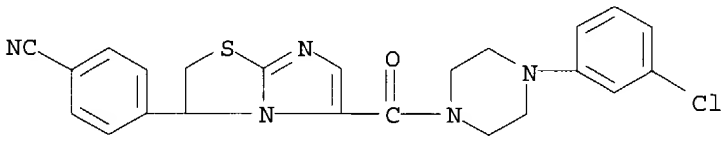
●8/5 HCl

RN 367910-70-1 CAPLUS
CN Benzonitrile, 4-[5-[[4-(3-chlorophenyl)-3-oxo-1-piperazinyl]methyl]-2,3-dihydroimidazo[2,1-b]thiazol-3-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 367910-72-3 CAPLUS
CN Piperazine, 1-(3-chlorophenyl)-4-[[3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

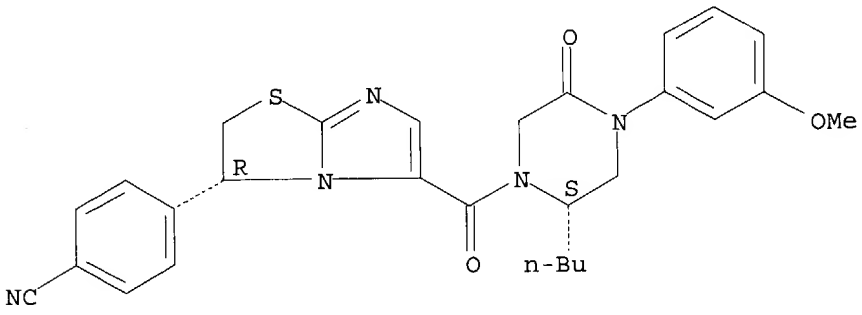


●2 HCl

RN 367910-73-4 CAPLUS

CN Piperazinone, 5-butyl-4-[[(3R)-3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-1-(3-methoxyphenyl)-, hydrochloride (5:9), (5S)- (9CI) (CA INDEX NAME)

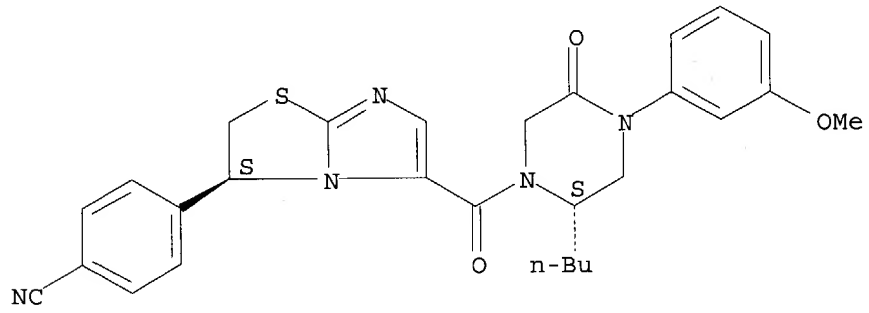
Absolute stereochemistry.



●9/5 HCl

RN 367910-74-5 CAPLUS
CN Piperazinone, 5-butyl-4-[[(3S)-3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-1-(3-methoxyphenyl)-, hydrochloride (5:8), (5S)- (9CI) (CA INDEX NAME)

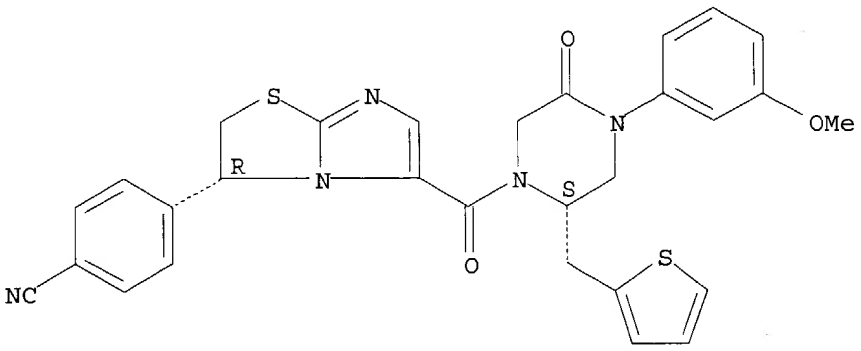
Absolute stereochemistry.



●8/5 HCl

RN 367910-76-7 CAPLUS
CN Piperazinone, 4-[[(3R)-3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-1-(3-methoxyphenyl)-5-(2-thienylmethyl)-, hydrochloride (5:7), (5S)- (9CI) (CA INDEX NAME)

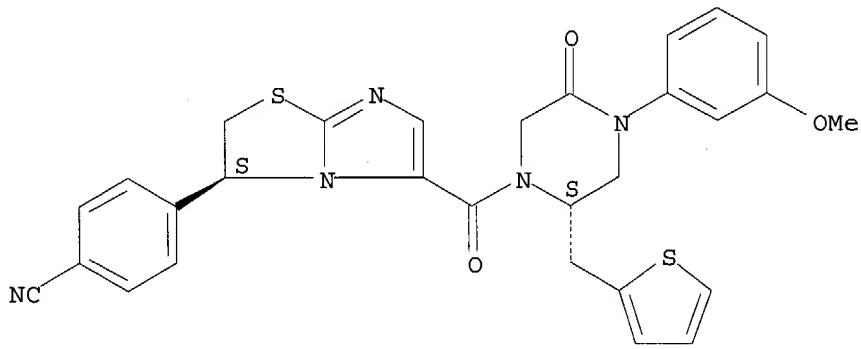
Absolute stereochemistry.



●7/5 HCl

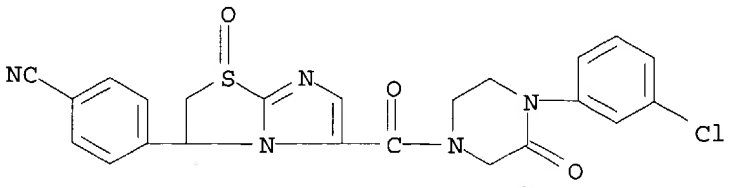
RN 367910-77-8 CAPLUS
CN Piperazinone, 4-[[(3S)-3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-1-(3-methoxyphenyl)-5-(2-thienylmethyl)-, hydrochloride (5:8), (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



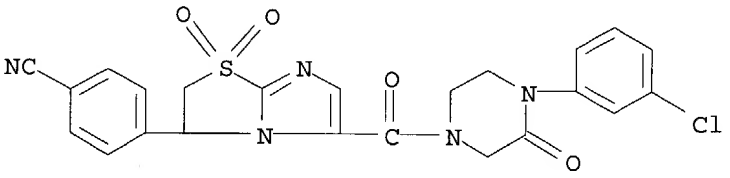
●8/5 HCl

RN 367910-79-0 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[3-(4-cyanophenyl)-2,3-dihydro-1-oxidoimidazo[2,1-b]thiazol-5-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



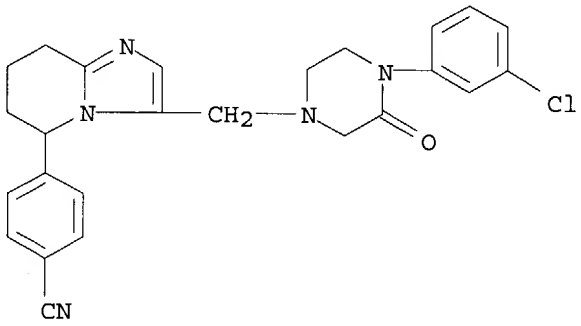
● HCl

RN 367910-80-3 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[3-(4-cyanophenyl)-2,3-dihydro-1,1-dioxidoimidazo[2,1-b]thiazol-5-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

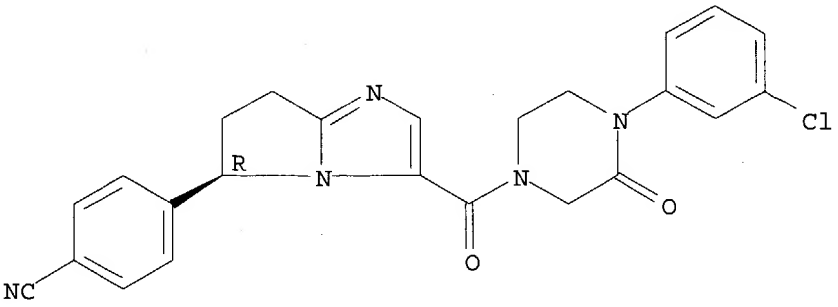
RN 367910-81-4 CAPLUS
CN Benzonitrile, 4-[3-[[4-(3-chlorophenyl)-3-oxo-1-piperazinyl]methyl]-5,6,7,8-tetrahydroimidazo[1,2-a]pyridin-5-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 367910-89-2 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(5R)-5-(4-cyanophenyl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazol-3-yl]carbonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

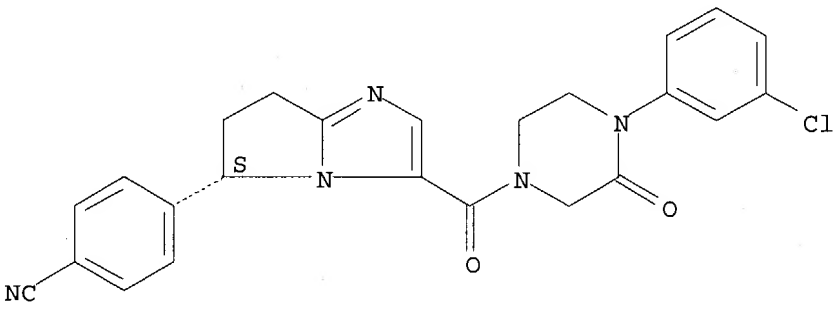
Absolute stereochemistry.



●2 HCl

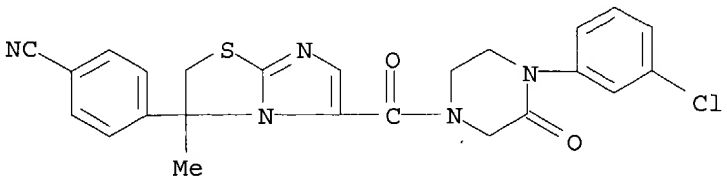
RN 367910-90-5 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(5S)-5-(4-cyanophenyl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazol-3-yl]carbonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



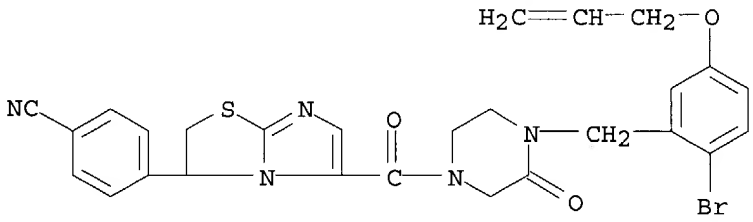
●2 HCl

RN 367911-07-7 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[3-(4-cyanophenyl)-2,3-dihydro-3-methylimidazo[2,1-b]thiazol-5-yl]carbonyl]-, hydrochloride (4:7) (9CI) (CA INDEX NAME)



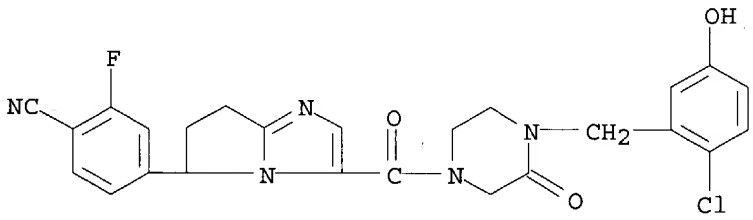
●7/4 HCl

RN 367911-16-8 CAPLUS
CN Piperazinone, 1-[[2-bromo-5-(2-propenyloxy)phenyl]methyl]-4-[[3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-, hydrochloride (4:5) (9CI) (CA INDEX NAME)



●5/4 HCl

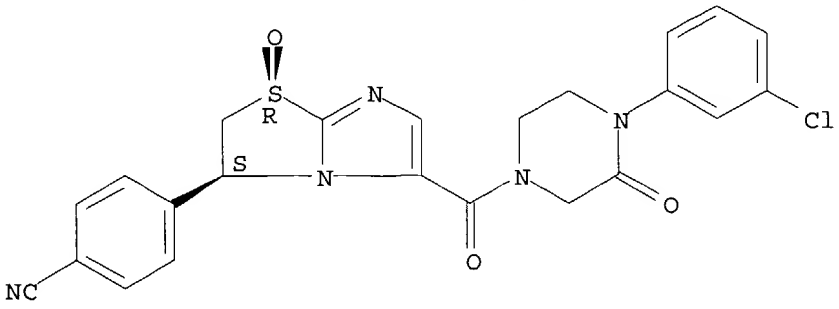
RN 367911-23-7 CAPLUS
CN Piperazinone, 1-[(2-chloro-5-hydroxyphenyl)methyl]-4-[[5-(4-cyano-3-fluorophenyl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazol-3-yl]carbonyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

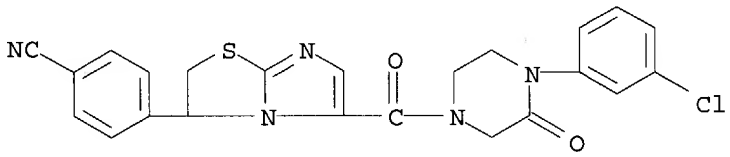
RN 367911-24-8 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[(1R,3S)-3-(4-cyanophenyl)-2,3-dihydro-1-oxidoimidazo[2,1-b]thiazol-5-yl]carbonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



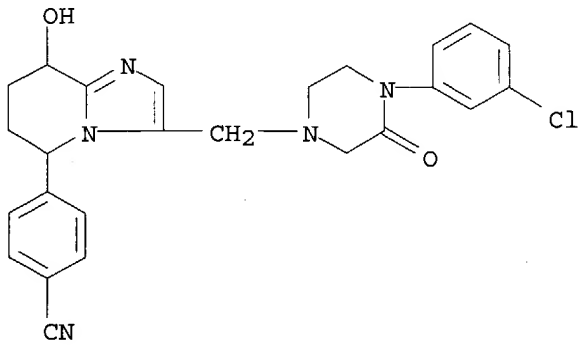
IT **367910-68-7P 367910-88-1P 367911-05-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(inhibitors of prenyl-protein transferase and prenylation of Ras oncogene protein)

RN 367910-68-7 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[3-(4-cyanophenyl)-2,3-dihydroimidazo[2,1-b]thiazol-5-yl]carbonyl]-, hydrochloride (5:8) (9CI) (CA INDEX NAME)

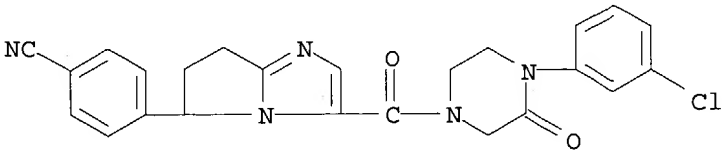


●8/5 HCl

RN 367910-88-1 CAPLUS
CN Benzonitrile, 4-[3-[[4-(3-chlorophenyl)-3-oxo-1-piperazinyl]methyl]-5,6,7,8-tetrahydro-8-hydroxyimidazo[1,2-a]pyridin-5-yl]- (9CI) (CA INDEX NAME)



RN 367911-05-5 CAPLUS
CN Piperazinone, 1-(3-chlorophenyl)-4-[[5-(4-cyanophenyl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

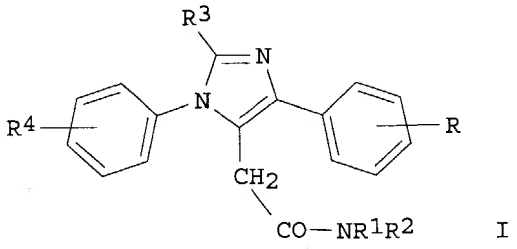


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:752464 CAPLUS
DN 129:302640
TI 1,4-Diphenylimidazole-5-acetamide derivatives as GABAA agonists
IN George, Pascal; De Peretti, Daniele; Gibert, Jean Francois; Mangane, Michel; Roy, Jocelyne
PA Synthelabo S. A., Fr.
SO Fr. Demande, 17 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2759698	A1	19980821	FR 1997-1992	19970220
	FR 2759698	B1	19990319		
PRAI	FR 1997-1992		19970220		

OS MARPAT 129:302640
GI

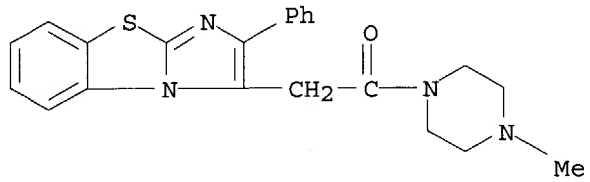


I

AB Imidazoleacetamides I [R = H, Cl, F, Me, OMe; R1, R2 = H, alkyl; NR1R2 = pyrrolidino, 4-methylpiperazino, hexahydroazepino; R3 = H, Me; R4 = H, F, Me] were prepared for use as GABAA agonists in treatment of disorders in GABAergic transmission associated with the α1, α2, and α3 subtypes (no data). I are obtained by Raney Ni reduction of imidazobenzothiazoleacetamides or imidazobenzothiazineacetamides. Thus, 0.74 g I [R, R3, R4 = H, R1, R2 = Me] was obtained by Raney Ni reduction of 1.48 g 2-(4-chlorophenyl)-N,N-dimethylimidazo[2,1-b]benzothiazole-3-acetamide.

IT **147970-83-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 1,4-diphenylimidazole-5-acetamide derivs. as GABAA agonists)

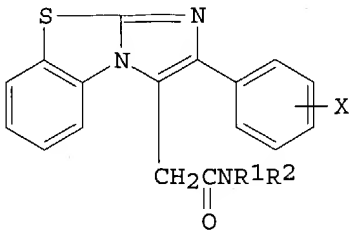
RN 147970-83-0 CAPLUS
CN Piperazine, 1-methyl-4-[(2-phenylimidazo[2,1-b]benzothiazol-3-yl)acetyl]-(9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:408810 CAPLUS
DN 119:8810
TI Preparation of imidazo[2,1-b]benzothiazole-3-acetamides and their use as benzodiazepine type 1 and type 2 receptor antagonists. anticonvulsants, or anxiolytics
IN George, Pascal; De Peretti, Danielle; Gibert, Jean Francois; Mangane, Michel; Le Galloudec, Odette
PA Synthelabo S. A., Fr.
SO Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 524055	A1	19930120	EP 1992-401956	19920708
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	FR 2679231	A1	19930122	FR 1991-9136	19910719
	FR 2679231	B1	19940114		
	FR 2679232	A1	19930122	FR 1991-9137	19910719
	FR 2679232	B1	19940114		
	FR 2679233	A1	19930122	FR 1991-9138	19910719
	FR 2679233	B1	19931015		
	FR 2679136	A1	19930122	FR 1991-9139	19910719
	CA 2074112	AA	19930120	CA 1992-2074112	19920717
	NO 9202842	A	19930120	NO 1992-2842	19920717
	AU 9220380	A1	19930121	AU 1992-20380	19920717
	AU 646582	B2	19940224		
	CN 1068826	A	19930210	CN 1992-105769	19920717
	ZA 9205388	A	19930428	ZA 1992-5388	19920717
	JP 05202063	A2	19930810	JP 1992-190551	19920717
PRAI	FR 1991-9136		19910719		
	FR 1991-9137		19910719		
	FR 1991-9138		19910719		
	FR 1991-9139		19910719		

OS MARPAT 119:8810
GI



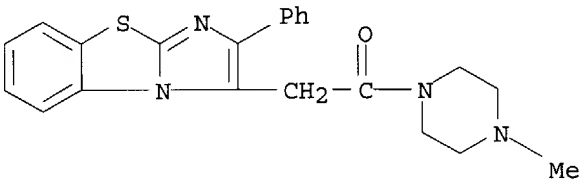
I

AB Title compds. I [X = H, halo, Me, Et, Pr, MeO, EtO, MeS, MeSO2, cyano, aminocarbonyl; R1 = H, C1-4 alkyl; R2 = H, linear, branched or cyclic C1-5 alkyl, possibly substituted by one or more F atoms, by MeO, Me2N, a Ph group, 2-propenyl, 2-propynyl; R1R2N = pyrrolidino, piperidino, hexahydroazepin-1-yl, 4-(phenylmethyl)piperidino, 4-methylpiperazino, 4-(phenylmethyl)piperazino, morpholino, thiomorpholino] are prepared by a process in which an imidazo[2,1-b]benzothiazole is reacted with glyoxylic

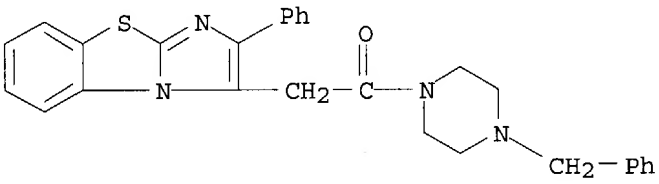
acid in protic solvent to give an α-hydroxyacetic acid derivative which is O-acetylated, treated with N,N'-carbonyldiimidazole, then amidated with HNR1R2 to give an α-hydroxyacetamide; this is substituted at the OH position by halide, then treated with a hydridic reducing agent, e.g., Rongalite, to give compds. I. I exhibit antagonist activity to benzodiazepine type 1 and type 2 receptors in vivo and are anticonvulsants and anxiolytics.

IT **147970-83-0P 147970-84-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anticonvulsant or anxiolytic, and affinity of, for benzodiazepine type 1 and type 2 receptors)

RN 147970-83-0 CAPLUS
CN Piperazine, 1-methyl-4-[(2-phenylimidazo[2,1-b]benzothiazol-3-yl)acetyl]- (9CI) (CA INDEX NAME)



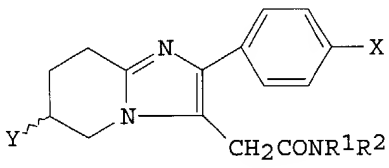
RN 147970-84-1 CAPLUS
CN Piperazine, 1-[(2-phenylimidazo[2,1-b]benzothiazol-3-yl)acetyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:131817 CAPLUS
DN 108:131817
TI Preparation of 5,6,7,8-tetrahydro-2-phenylimidazo[1,2-a]pyridine-3-acetamides as anticonvulsants and sedatives
IN Pascal, George; Hong, Thu Nguyen
PA Synthelabo S. A. , Fr.
SO Fr. Demande, 11 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2593817	A1	19870807	FR 1986-1333	19860131
	FR 2593817	B1	19880415		
PRAI	FR 1986-1333		19860131		

OS CASREACT 108:131817
GI

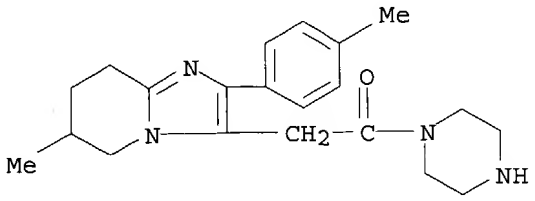


I

AB The title compds. (I; R1, R2, Y = H, C1-4 alkyl; R1R2 = C3-6 alkylene, optionally with O or R1N interrupters; X = C1-4 alkyl, C1-4 alkoxy, halo) and their pharmaceutically acceptable acid salts were prepared as anticonvulsants and sedatives. 2-(4-Methoxyphenyl)imidazo[1,2-a]pyridine-3-acetamide was hydrogenated in HOAc over Pd/C to give, after acidification, I (R = R1 = Y = H, X = MeO).HCl. I inhibited Cardiazol-induced clonic convulsions in mice with ED50 of 0.5-30 mg/kg i.p. and had sedative activity in rats at 1-30 mg/kg.

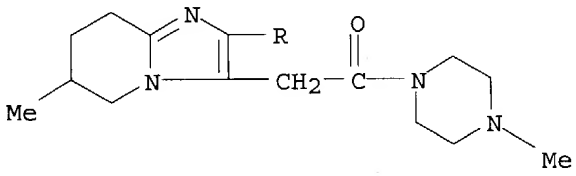
IT **113468-12-5P 113468-13-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as anticonvulsant, anxiolytic, and sedative)

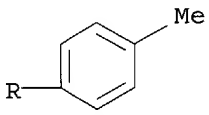
RN 113468-12-5 CAPLUS
CN Piperazine, 1-[[5,6,7,8-tetrahydro-6-methyl-2-(4-methylphenyl)imidazo[1,2-a]pyridin-3-yl]acetyl]-, hydrochloride (9CI) (CA INDEX NAME)



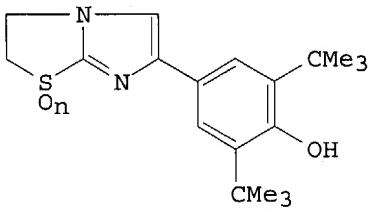
●x HCl

RN 113468-13-6 CAPLUS
CN Piperazine, 1-methyl-4-[[5,6,7,8-tetrahydro-6-methyl-2-(4-methylphenyl)imidazo[1,2-a]pyridin-3-yl]acetyl]- (9CI) (CA INDEX NAME)





L6 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1984:51510 CAPLUS
DN 100:51510
TI Studies on the synthesis and antiinflammatory activity of 2,6-di-tert-butylphenols with a heterocyclic group at the 4-position. II
AU Isomura, Yasuo; Ito, Noriki; Sakamoto, Shuichi; Homma, Hiroshige; Abe, Tetsushi; Kubo, Kazuo
CS Cent. Res. Lab., Yamanouchi Pharm. Co., Ltd., Tokyo, 174, Japan
SO Chemical & Pharmaceutical Bulletin (1983), 31(9), 3179-85
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 100:51510
GI

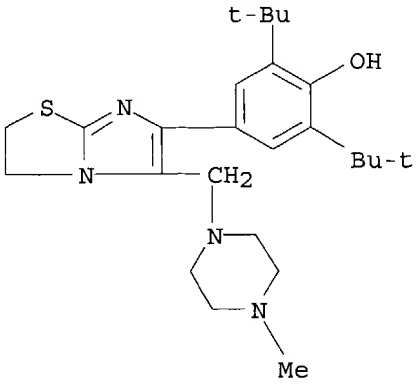


I

AB 2,6-Di-tert-butylphenols with an imidazo[2,1-b]thiazole or 2,3-dihydroimidazo[2,1-b]thiazole group at the 4-position were prepared. Substituted were introduced at the 5-position of 6-(3,5-di-tert-butyl-4-hydroxyphenyl)-2,3-dihydroimidazo[2,1-b]thiazole (I, n = 0) by means of the Vilsmeier and Mannich reactions. I (n = 1, 2) were obtained by oxidation of I (n = 0). The above compds. were examined for antiinflammatory activity in adjuvant-induced arthritis in rats, and some compds. were further tested for activity in the carrageenin-induced rat paw edema assay and in the AcOH-induced writhing assay in mice. Some of the compds. showed potent anti-inflammatory and analgesic activities. The most potent compds., I (n = 1) (25 mg/kg, p.o., had about the same antiinflammatory activity as indomethacin (2 mg/kg, p.o.), but I (n = 1) (50 mg/kg, p.o.) had weaker analgesic activity than aminopyrine (50 mg/kg, p.o.).

IT **84217-97-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 84217-97-0 CAPLUS
CN Phenol, 4-[2,3-dihydro-5-[(4-methyl-1-piperazinyl)methyl]imidazo[2,1-b]thiazol-6-yl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)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N
Bu-t
N
CH2
N
N
Me

L6 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:53905 CAPLUS
DN 98:53905
TI 3,5-Di-tert-butyl-4-hydroxyphenyl-substituted heterocyclic compounds
IN Kubo, Kazuo; Isomura, Yasuo; Sakamoto, Shuichi; Homma, Hiroshige
PA Yamanouchi Pharmaceutical Co., Ltd. , Japan
SO Eur. Pat. Appl., 77 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

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	JP 04050305	B4	19920813		
	JP 58057366	A2	19830405	JP 1981-157010	19811002
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	CA 1176260	A1	19841016	CA 1982-396506	19820217
	CA 1181074	A1	19850115	CA 1982-396500	19820217
	CA 1181751	A1	19850129	CA 1982-396501	19820217
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	AU 550035	B2	19860227		
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	ES 509778	A1	19830116	ES 1982-509778	19820219
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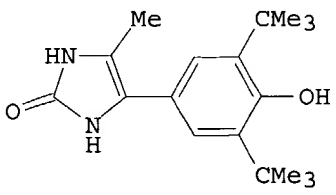
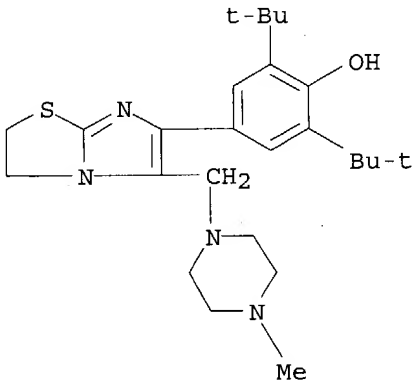
OS CASREACT 98:53905
GI



I

AB 4,3,5-HO(Me3C)2C6H2R (R = imidazolyl, thiazolyl, oxazolyl, imidazothiazolyl) (.apprx.75 compds.) were prepared Thus 1.6 g 4,3,5-HO(Me3C)2C6H2COCHMeNH2 was treated with KNCO to give 0.7 g I. At 25 mg/kg day orally I had antiinflammatory activity against Mycobacterium butyricum-induced arthritis in rats.
IT **84217-97-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
RN 84217-97-0 CAPLUS
CN Phenol, 4-[2,3-dihydro-5-[(4-methyl-1-piperazinyl)methyl]imidazo[2,1-b]thiazol-6-yl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



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